

## AMENDMENT

### **In the Specification:**

Prior to page 1, where the text of the application begins, please delete the double-sided cover page from the PCT stage if necessary.

At page 1, after the title, in the current single-paragraph that constitutes the entire Section of the Application pertaining to "Cross-reference to Related Applications", please delete the existing section and replace such deleted section with the following, two-paragraph replacement section:

The present application is a nationalization of International Patent Application PCT/AU99/00812, filed September 24, 1999, which claims priority to Australian Patent Application PP 6165, filed September 25, 1998.

### **FIELD OF THE INVENTION**

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications. In particular, the auxiliaries of the invention are useful in the synthesis of peptides and peptidomimetic compounds, and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclisation of organic molecules, ligating chemistry, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries that can be removed by photolysis.

After page 77, please start another page (78), and insert the following text of the Abstract, as taken from the priority application as filed and on first cover page from the PCT application:

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This invention relates to methods for preparing cyclic peptides and peptidomimetic compounds in solution and bound to solid supports, and to cyclic peptide or peptidomimetic libraries for use in drug screening programmes. In particular, the invention relates to a generic strategy for synthesis of cyclic peptides or peptidomimetics that enables the efficient synthesis under mild conditions of a wide variety of desired compounds. Two approaches were evaluated for their improvements in solution and solid phase synthesis of small cyclic peptides: positioning reversible *N*-amide substituents in the sequence; and applying native ligation chemistry in an intramolecular sense. Systematic investigation of the effects of preorganising peptides prior to cyclisation by using peptide cyclisation auxiliaries, and developing new linkers and peptide cyclisation auxiliaries to aid cyclic peptide synthesis gives surprising improvements in both yields and purity of products compared to the prior art methods. The combination of these technologies provides a powerful generic approach for the solution and solid phase synthesis of small cyclic peptides. The ring contraction and *N*-amide substitution technology of the invention provide improved methods for the synthesis of cyclic peptides and peptidomimetics. When used in conjunction with linker strategies, this combination provides solid-phase avenues to cyclic peptides and peptidomimetics.

At the appropriate pages, prior to the text on each page, please delete the header that reads "WO 00/18789 PCT/AU99/00812" if necessary.

**In the Claims:**

After entry into the U.S. national stage, and assurance of a U.S. filing date, please revise the claims from the enclosed PCT application as follows.